=> d his

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(FILE 'HOME' ENTERED AT 15:38:25 ON 03 NOV 1999)
     FILE 'REGISTRY' ENTERED AT 15:38:28 ON 03 NOV 1999
L1
                STR
             50 S L1
L2
     FILE 'CASREACT' ENTERED AT 15:40:01 ON 03 NOV 1999
L3
             38 S L1
                STR L1
L4
              1 S L4
L5
                STR L4
L6
              2 S L6
L7
           1851 S RESIN
L8
            255 S SOLID SUPPORT
L9
L10
           847 S SOLID(2A) PHASE(2A) SYNTHES?
           2304 S L8-L10
L11
              O S L6 SSS SAM SUB=L11
L12
                STR L6
L13
L14
              6 S L13
L15
            150 S L13 FUL
L16
              2 S L15 AND L11
```

and combined the answer set with near, polid support, etc.

=> d que 115

L13 STR BRT PRO RRT 5 Ğ2 ₩ C 7 G2 NH2 6 G1 ** NH - C 3 2

VAR G1=NH/O VAR G2=O/S/N NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE 150 SEA FILE=CASREACT SSS FUL L13 (558 REACTIONS) L15

=> d fhit bib abs

L16 ANSWER 1 OF 2 CASREACT COPYRIGHT 1999 ACS

RX(7) OF 8 2 X ===> AD

resin-bound

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ΑD

RX(7) RCT X 197304-25-9D

STAGE (1)

Y 110-89-4 Piperidine RGT

SOL 68-12-2 DMF

Searched by John Dantzman 308-4488

```
STAGE (2)
                  RGT AE 108-30-5 Succinic anhydride
                  SOL 68-12-2 DMF
              STAGE (3)
                  RGT AF 100-46-9 PhCH2NH2, AG 4584-49-0 1-Propanamine,
                        2-chloro-N, N-dimethyl-, hydrochloride
                  SOL 75-09-2 CH2Cl2
              STAGE (4)
                  RGT AA 76-05-1 F3CCO2H, AB 7732-18-5 Water
                  SOL 75-09-2 CH2C12
              STAGE (5)
                  RGT AB 7732-18-5 Water, AA 76-05-1 F3CCO2H
                  SOL 75-09-2 CH2C12
                 AD 56439-40-8
            PRO
                 CASREACT
AN
      129:4503
      Solid-phase synthesis of hydroxylamine
ΤI
      compounds, derivatives, and combinatorial libraries thereof
ΙN
      Patel, Dinesh; Nhu, Khehyong
      Versicor, Inc., USA; Patel, Dinesh; Nhu, Khehyong
PΑ
SO
      PCT Int. Appl., 98 pp.
      CODEN: PIXXD2
DT
      Patent
LA
      English
FAN.CNT 1
                          KIND DATE
                                                    APPLICATION NO.
      PATENT NO.
                           ____
                                  _____
                                                    _____
                                                   WO 1997-US19481 19971027
      WO 9818754
                     A1
                                  19980507
PΙ
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                                   AU 1998-54263
                                                                         19971027
      AU 9854263
                           A1
                                  19980522
PRAI US 1996-29788
                           19961028
      US 1997-47468
                           19970523
      WO 1997-US19481
                          19971027
OS
      MARPAT 129:4503
      A library comprising a plurality of hydroxylamine and/or hydroxylamine
AΒ
      derivs. wherein the library is prepd. by prepg. a solid
      support-bound alkoxyamine, derivatizing the supported alkoxyamine,
      cleaving the derivatized alkoxyamine from the support, and removing the
      alkoxy protecting group, is claimed. Thus, 4-hydroxymethylphenoxy
      resin was brominated with PPh3.Br2 in CH2Cl2 to give 99%
      bromomethylphenoxy resin. This was treated with PhCH2ONH2 and
      K2CO3 in EtOAc/H2O to give benzyloxyamine resin, which was
      treated with PhCH2CH2COC1 and 2,6-di-tert-butyl-4-methylpyridine in DMF
to
      give N-acylated material. The latter was treated with CF3CO2H to afford
      PhCH2CH2CONHOCH2Ph, which was hydrogenated in MeOH over Pd/C to afford
      PhCH2CH2CONHOH.
                        Searched by John Dantzman
                                                             308-4488
```

=> d fhit bib abs 2

L16 ANSWER 2 OF 2 CASREACT COPYRIGHT 1999 ACS

RX(7) OF 11 $\mathbf{x} + \mathbf{y} ===> \mathbf{z}$

X polymer bound

H N Ph

Y

$$\begin{array}{c}
(7) \\
\text{HO} \\
\end{array}$$

$$\begin{array}{c}
\text{H} \\
\text{N} \\
\text{O}
\end{array}$$

$$\begin{array}{c}
\text{N} \\
\text{H}
\end{array}$$

$$\begin{array}{c}
\text{Ph} \\
\text{Ph} \\
\end{array}$$

Z YIELD 81%

RX(7) RCT X 197304-27-1D

STAGE(1)

SOL 68-12-2 DMF, 108-30-5 Succinic anhydride

STAGE(2)

RCT Y 100-46-9

RGT AA 530-62-1 Diimidazolyl ketone

SOL 75-09-2 CH2C12

STAGE (3)

RGT R 76-05-1 F3CCO2H

SOL 7732-18-5 Water, 75-09-2 CH2Cl2

PRO Z 56439-40-8

AN 127:318531 CASREACT

TI A New and Efficient Solid Phase Synthesis of Hydroxamic Acids

AU Ngu, Khehyong; Patel, Dinesh V.

CS Versicor Inc., Fremont, CA, 94555, USA

SO J. Org. Chem. (1997), 62(21), 7088-7089

Searched by John Dantzman 308-4488

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal LA English

AB A new method for the **solid phase synthesis**(SPS) of hydroxamic acids proceeding through the intermediacy of
N-tethered-O-protected alkoxyamine **resin** is described. The
linker group, besides being an acid cleavable site for attachment of
these

mols. on **solid support**, also serves as a suitable nitrogen protecting group for the hydroxamate functionality. The current methodol. is strategically well suited for combinatorial synthesis of diverse hydroxamic acid based metalloenzyme inhibitors, as exemplified by the first SPS of CGS 27023A, a recently described orally active matrix metallo protease (MMP) inhibitor.

=> d his

(FILE 'HOME' ENTERED AT 14:49:00 ON 03 NOV 1999)

FILE 'HCAPLUS' F	CNTERED	ΤΥ	14.49	• 1 9	ON	n a	NOV	1999

L1	6 S SIEV D?/AU	
L2	396 S SEMPLE ?/AU	
L3	9 S WEINHOUSE M?/AU	
L4	0 S L1 AND L2 AND L3	
L5	408 S L1-L4	
L6	5 S L5 AND RESIN	

Inventor Search

```
=> d 1-5
```

DT

LA

Journal English

```
L6
     ANSWER 1 OF 5 HCAPLUS COPYRIGHT 1999 ACS
ΑN
     1999:617615 HCAPLUS
ΤI
     Novel protocol for the solid-phase synthesis of peptidyl and
     peptidomimetic P-argininal derivatives.
ΑU
     Semple, J. Edward; Gaudette, John A.; Siev, Daniel V.
CS
     Department of Medicinal Chemistry, Corvas International, Inc., San Diego,
     CA, 92121, USA
     Book of Abstracts, 218th ACS National Meeting, New Orleans, Aug. 22-26
SO
     (1999), MEDI-241 Publisher: American Chemical Society, Washington, D. C.
     CODEN: 67ZJA5
DT
     Conference; Meeting Abstract
LA
     English
    ANSWER 2 OF 5 HCAPLUS COPYRIGHT 1999 ACS
L6
    1999:440760 HCAPLUS
ΑN
DN
     131:199967
ΤI
     Novel protocol for the solid-phase synthesis of peptidyl and
     peptidomimetic P1-argininal derivatives
     Siev, Daniel V.; Gaudette, John A.; Semple, J. Edward
ΑU
     Department of Medicinal Chemistry, Corvas International, Inc., San Diego,
CS
     CA, 92121, USA
     Tetrahedron Lett. (1999), 40(28), 5123-5127
SO
     CODEN: TELEAY; ISSN: 0040-4039
PB
     Elsevier Science Ltd.
     Journal
DT
LA
     English
     CASREACT 131:199967
OS
     ANSWER 3 OF 5 HCAPLUS COPYRIGHT 1999 ACS
L6
     1996:97083 HCAPLUS
ΑN
     124:260932
DN
ΤI
     Imidazole libraries on solid support
     Sarshar, Sepehr; Siev, Daniel; Mjalli, Adnan M. M.
ΑU
     Ontogen Corp., Karlovy vary, CA, 92009, USA
CS
     Tetrahedron Lett. (1996), 37(6), 835-8
SO
     CODEN: TELEAY; ISSN: 0040-4039
DT
     Journal
LA
    English
L6
    ANSWER 4 OF 5 HCAPLUS COPYRIGHT 1999 ACS
     1996:10631 HCAPLUS
ΑN
     124:175550
DN
ΤI
     Synthesis of NH-acyl-.alpha.-amino amides on Rink resin:
     inhibitors of the hematopoietic protein tyrosine phosphatase (HePTP)
     Cao, Xiaodong; Moran, Edmund J.; Siev, Daniel; Lio, Anna;
ΑŪ
     Ohashi, Cara; Majalli, Adnan M. M.
CS
     Ontogen Corp., Karlovy vary, CA, 92009, USA
                                                        OP501.B57
     Bioorg. Med. Chem. Lett. (1995), 5(24), 2953-8
     CODEN: BMCLE8; ISSN: 0960-894X
```

L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 1999 ACS
Searched by John Dantzman 308-4488

- AN 1987:167153 HCAPLUS
- DN 106:167153
- ΤI Wave absorption in piezoceramic-polymer composites
- ΑU
- CS
- Semple, A. E.; Pilgrim, S. M.; Thompson, W., Jr.; Newnham, R. E. Pennsylvania State Univ., University Park, PA, 16802, USA Mater. Sci. Res. (1986), 20 (Tailoring Multiphase Compos. Ceram.), 455-63 so CODEN: MTSRAY; ISSN: 0076-5201
- DT Journal
- LA English

```
ANSWER 37 OF 52 HCAPLUS COPYRIGHT 1999 ACS
L2-3
ΑN
     1987:423709 HCAPLUS
DN
     107:23709
ΤI
    Calcitonin related peptide derivatives
    Noda, Toshiharu; Fujii, Nobutaka; Morita, Kaoru; Hori, Masayuki
ΙN
PΑ
    Toyo Jozo Co., Ltd., Japan
SO
     Eur. Pat. Appl., 26 pp.
     CODEN: EPXXDW
DT
     Patent
LA
    English
FAN.CNT 1
     PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO.
                                                           DATE
                     ____
                                           ----
                            _____
PΙ
    EP 212432
                      A2
                            19870304
                                          EP 1986-110829
                                                            19860805
    EP 212432
                      A3
                            19890125
    EP 212432
                      В1
                            19920506
        R: DE, FR, GB, IT
                                           JP 1986-173395
     JP 62129297
                      A2
                            19870611
                                                            19860723
    US 4743677
                      Α
                            19880510
                                          US 1986-893267
                                                            19860805
    ES 2000602
                            19880301
                                          ES 1986-959
                                                            19860808
                      Α6
PRAI JP 1985-175340
                     19850809
GΙ
```

CH2-CH2-Y-Y-CH2

CO-A-Thr-Ala-Thr-NHCH
CO-Val-Thr-His-Arg-Leu
Ala-Gly-Leu-Leu-Ser-Arg
Ser-Gly-Gly-B-Val-Lys
C-Asn-Phe-Val-Pro-Thr
Asn-Val-Gly-Ser-Lys-Ala
Phe-NH2

West >

CH2 CH2) 3 CH2 CH2 CO-Asp (OBzl) -Thr (Bzl) -Ala-Thr (Bzl) -NHCHCOVal-OMe

AB The title compds. (I; Y = S, CH2; A = Asp, Asn; B = Val, Met; C = Asn, Ser) or their salts, useful as medicines or clin. diagnostic aids for bone

Ι

metab. and the central nervous system (no data), are prepd. I (Y = CH2,
A
= Asp, B = Val, C = Asn) was prepd. by solid-phase synthesis on a
p-methylbenzhydrylamine resin via the cyclic peptide II (Bzl =
benzyl).

98748-34-6P 98748-38-0P 98748-40-4P 98748-41-5P 98748-42-6P 98748-43-7P

Searched by John Dantzman 308-4488

ANSWER 33 OF 52 HCAPLUS COPYRIGHT 1999 ACS ΑN 1994:135141 HCAPLUS ĎΝ 120:135141 ΤI Preparation of semicarbazone and semicarbazide amino acid aldehyde supports for automated synthesis of peptide analogs IN Webb, Thomas Roy Corvas International Inc., USA PΑ SO

PCT Int. Appl., 65 pp. CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE 19930624 PI WO 9312076 A1 WO 1991-US9388 19911213 W: AU, CA, FI, JP, KR, NO RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE AU 9213390 A1 19930719 AU 1992-13390 19911213 PRAI WO 1991-US9388 19911213 MARPAT 120:135141

GI

AΒ HO2CACH2NHCONHZ [A = C2-15 hydrocarbylene; Z = NHR, N:CHCHR1NHR, Q1; R = protecting group; R1 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl; X = (substituted) C3-12 alkylene], were prepd. Thus, trans-4aminomethylcyclohexanecarboxylic acid was elaborated to semicarbazone deriv I in several steps. This was coupled to methylbenzhydrylamine resin using N-methylmorpholine/BOP reagent in DMF and the resulting SAAA (semicarbazone amino acid aldehyde) support was used to prep. BOC-D-Leu-Pro-Arg-H, BOC-D-Phe-Pro-Arg-H, etc.

139976-34-4P ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and redn. of, in solid phase synthesis of peptide aldehydes)

RN 139976-34-4 HCAPLUS

Carbamic acid, [(1S)-4-[[imino(nitroamino)methyl]amino]-1-CN [(methoxymethylamino)carbonyl]butyl]-, 1,1-dimethylethyl ester (9CI) INDEX NAME)

> Searched by John Dantzman 308-4488

ANSWER 31 OF 52 HCAPLUS COPYRIGHT 1999 ACS

AN 1994:218523 HCAPLUS

DN 120:218523

TISynthesis of azapeptides by the Fmoc/tert-butyl/polyamide technique

Quibell, Martin; Turnell, William G.; Johnson, Tony ΑU

CS

MRC Lab. Mol. Biol., Cambridge, CB2 2QH, UK J. Chem. Soc., Perkin Trans. 1 (1993), (22), 2843-9 SO CODEN: JCPRB4; ISSN: 0300-922X

DTJournal

LA English

OS CASREACT 120:218523

A new synthesis of azapeptides for use in the study of a proteolytic ΆB enzyme assocd. with Alzheimer's disease is described. The method utilizes

fluoren-9-ylmethoxycarbonyl (Fmoc) amino acid carbazates and hydrazides

in

the Fmoc/tert-butyl/polyamide technique. The prepn. of these compds. is presented. Reaction of Fmoc-amino acid hydrazides with an appropriate aldehyde, followed by redn., gave fully protected amino acid carbazate dipeptide synthons. These derivs, were used to prep, aza amino acid peptide analogs by reaction with a resin-bound amino group, activated with bis-2,4-dinitrophenyl carbonate in the presence of a base. With this activation of the amino group, hydantoins are formed in a major side reaction, but the cyclization could be virtually eliminated by omission of the base from the activation procedure. Upon final trifluoroacetic acid-mediated cleavage of the azapeptide, trifluoroacetylation of the N-terminal serine residue was obsd.

ΙT 154130-34-4P 154130-35-5P 154130-36-6P

154130-37-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (intermediate in prepn. of protected azadipeptide building block for solid-phase peptide synthesis)

154130-34-4 HCAPLUS RN

Hydrazinecarboxylic acid, CN

2-[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3methyl-1-oxobutyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 154130-35-5 HCAPLUS

Hydrazinecarboxylic acid, 2-[6-[[(1,1-dimethylethoxy)carbonyl]amino]-2-Searched by John Dantzman

ANSWER 30 OF 52 HCAPLUS COPYRIGHT 1999 ACS

AN 1994:580233 HCAPLUS

DN 121:180233

ΤI Reagents for automated synthesis of peptide aldehydes.

IN Webb, Thomas R.

PA Corvas, Inc., USA

U.S., 18 pp. CODEN: USXXAM SO

DTPatent LA English

FAN.ÇN	T 2				
P	ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
_					
PI U	S 5283293	A	19940201	US 1990-627753	19901214
Ū	S 5367072	Α	19941122	US 1991-807474	19911213
PRAI U	S 1990-627753	199012	214		
OS M	ARPAT 121:180233	3			
GI					

XCOANHCONHZ [A = hydrocarbyl; Z = NHR, N:CHCHR1NHR, Q1; R = protecting AΒ group; R1 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl; Q = (substituted) alkylene; X = NHSp, OSp, CH2Sp; Sp = insol. resin support], were prepd. Thus, nitroarginal semicarbazone deriv I was prepd.

and coupled to methylbenzhydrylamine resin; the resin was used in solid phase prepn. of BOC-D-Leu-Pro-Arginal, etc.

ΙT 71413-14-4P 139976-26-4P 139976-27-5P

139976-28-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for linker group for solid phase peptide aldehyde synthesis)

RN 71413-14-4 HCAPLUS

CN Carbamic acid, [(1S)-1-formyl-4-[[imino(nitroamino)methyl]amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
ANSWER 28 OF 52 HCAPLUS COPYRIGHT 1999 ACS
ΑN
     1995:984982 HCAPLUS
DN
     124:176894
ΤI
     Solid-phase synthesis of a fucosylated glycopeptide of human factor IX
    with a fucose-.alpha.-(1.fwdarw.0)-serine linkage
     Peters, Stefan; Lowary, Todd I.; Hindsgaul, Ole; Meldal, Morten; Bock,
ΑU
CS
     Department Chemistry, Carlsberg Laboratory, Copenhagen Valby, DK-2500,
     Den.
     J. Chem. Soc., Perkin Trans. 1 (1995), (23), 3017-22
SO
    CODEN: JCPRB4; ISSN: 0300-922X
DT
    Journal
LA
     English
    The chem. synthesis of protected glycopeptide
AΒ
Ac-Pro-Cys (Acm) -Leu-Asn-Gly-
    Gly-Ser(Ac3-.alpha.-L-Fuc)-Cys(Acm)-Lys-Asp-Asp-NH2 (I; Acm =
    acetamidomethyl), with L-fucose directly linked to the hydroxy group of
    L-serine is reported. Two building blocks contg. a protected and an
    unprotected fucose residue .alpha.-glycosidically linked to Fmoc-Ser-OH
    (Fmoc = 9-fluorenylmethoxycarbonyl) were prepd. and used in the synthesis
    of I. Both building blocks were completely compatible with the std.
     Fmoc-based solid-phase peptide synthesis protocol and furthermore that OH
    protection of the carbohydrate is necessary only during the final acid
    treatment for cleavage of the glycopeptide from the resin.
IT
    173777-50-9P
    RL: BYP (Byproduct); PREP (Preparation)
        (solid-phase synthesis of a fucosylated
       glycopeptide of human factor IX with a fucose-serine linkage)
     173777-50-9 HCAPLUS
RN
     L-.alpha.-Asparagine,
CN
[S-[(acetylamino)methyl]-N-(1-acetyl-L-prolyl)-L-cysteinyl]-L-leucyl]-L-
     .alpha.-aspartyl]qlycyl]qlycyl]-O-(6-deoxy-.alpha.-L-galactopyranosyl)-L-
     seryl]-L-cysteinyl]-L-lysyl]-L-.alpha.-aspartyl]-, 4''-hydrazide (9CI)
     (CA INDEX NAME)
```

Absolute stereochemistry.

```
=> d bib abs hitstr 26
```

```
ANSWER 26 OF 52 HCAPLUS COPYRIGHT 1999 ACS
L23
AN
     1996:190883 HCAPLUS
DN
     124:233161
TΙ
     Preparation of resin supports for use in solid phase synthesis
     of peptide hydrazides.
IN
     Coughlin, Daniel J.
PA
     Cytogen Corp., USA
SO
     PCT Int. Appl., 21 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                                 APPLICATION NO.
                                                                     DATE
                                _----
                                                 _____
                                                 WO 1995-US7462
PΙ
     WO 9534314
                         A1
                                19951221
                                                                     19950613
          W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO,
          RU, SD, SG, SI, SK, TJ, TM, TT, UA, UZ, VN
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
               LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
               SN, TD, TG
                                19960105
                                                AU 1995-29021
                                                                     19950613
     AU 9529021
                          Α1
                         19940614
PRAI US 1994-259775
     WO 1995-US7462
                         19950613
     MARPAT 124:233161
GI
```

$$R^4$$
 R^6
 R^1 HNNR 2 CO $_2$ CHR 3 R^5 R^7 I

AB Title compds. (I; P = solid phase polymer support; Y = Ph, alkyl, aryl, akoxy, aryloxy, alkylamino, arylamino, alkylthio, arylthio; R1, R2 = H, alkyl; R3 = H, alkyl, aryl, nitroaryl; R4 = OR8, NMe2; R8 = alkyl; R5-R7

 $\mbox{\rm H, OMe, NMe2, alkyl, aryl), were prepd. Thus, sasrin was derivatized with$

Ph chloroformate and hydrazine and the resulting hydrazide sasrin resin was used to prep. branched and linear peptide hydrazides.

IT 174800-73-8DP, sasrin resin-bound 174800-74-9DP
, sasrin resin-bound 174800-75-0DP, sasrin
resin-bound 174800-76-1DP, sasrin resin-bound
174800-77-2DP, sasrin resin-bound 174800-82-9DP
, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of resin supports for use in solid

Searched by John Dantzman 308-4488

```
ANSWER 25 OF 52 HCAPLUS COPYRIGHT 1999 ACS
L23
AN
     1996:237461 HCAPLUS
DN
     124:290274
ΤI
     Solid phase synthesis of diketopiperazines (cyclodipeptides).
IN
     Campbell, David; Gallop, Mark A.; Gordon, Eric M.; Look, Gary C.; Patel,
     Dinesh; Szardenings, Anna Katrin
PΑ
     Affymax Technologies N.V., Neth.
SO
     PCT Int. Appl., 100 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 5
     PATENT NO.
                        KIND
                               DATE
                                               APPLICATION NO.
                                                                  DATE
                               _____
                               19960104
                                               WO 1995-US7964
                                                                  19950623
PΙ
     WO 9600391
                        A1
         W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
              MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
              TM, TT
          RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
              LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
              SN, TD, TG
     WO 9535278
                               19951228
                                               WO 1995-US7878
                                                                  19950622
              AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
              TM, TT
         RW: KE, MW,
                       SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
              LU, MC,
                       NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
              SN, TD, TG
                               19960119
                                               AU 1995-28711
                                                                  19950623
     AU 9528711
                         Α1
PRAI US 1994-265578
                        19940623
     US 1995-393318
                        19950222
     WO 1995-US7878
                        19950622
     US 1994-264136
                        19940622
     US 1994-354309
                        19941212
     WO 1995-US7964
                        19950623
AΒ
     A library of diverse diketopiperazines comprising a plurality of solid
     supports having a plurality of surface-bound diketopiperazines, wherein
     the diketopiperazines bound to each of the solid supports are
     substantially homogeneous and have a compn. substantially different from
     diketopiperazines bound to selected other supports, are claimed.
     TentaGel S resin functionalized with Knorr linker was coupled
     with FMOC-Glu(OMe)-OH using BOP/DIEA in DMF followed by deprotection,
     coupling with FMOC-Gly, and deprotection. Heating the resin
     -bound dipeptide in MeOH/Et3N gave resin-bound diketopiperazine
     product, which was treated with TFA/H2O to give 61% cyclo(Gln-Gly).
TΥ
     175452-67-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (solid phase synthesis of
        diketopiperazines)
     175452-67-2 HCAPLUS
RN
CN
     2-Piperazinepropanamide, N-hydroxy-1-(3-methylbutyl)-3,6-dioxo-5-
                      Searched by John Dantzman
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ANSWER 23 OF 52 HCAPLUS COPYRIGHT 1999 ACS L23 AN 1996:632144 HCAPLUS DN 125:276589 TΙ Synthesis of hydroxamic acid derivatives using solid supports functionalized with (protected) hydroxylamine. Floyd, Christopher David; Lewis, Christopher Norman IN PA British Biotech Pharmaceuticals Limited, UK SO PCT Int. Appl., 47 pp. CODEN: PIXXD2 DTPatent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ______ _____ 19960829 PΙ WO 9626223 A1 WO 1996-GB428 19960226 W: JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE A1 EP 811019 19971210 EP 1996-903152 19960226 EP 811019 В1 19990407 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE JP 1996-525514 T2 JP 11500620 19990119 19960226 AT 178618 AT 1996-903152 Ε 19990415 19960226

19990803

Α

PRAI GB 1995-3749 19950224 WO 1996-GB428 19960226

US 5932695

GΙ

$$H_2NO$$
 R I



19970324

US 1997-809499

AB Solid phase reaction components substantially insol. in aq. or org. reaction media of the formulas P1NHOR or RHNOP2 (P1, P2 = H, protecting group; R = solid substrate) wherein the bond to the substrate is cleavable

under acid conditions or by photolysis, are claimed. Such components are useful in the solid phase synthesis of, for example, compds. which are matrix metalloproteinase inhibitors. Thus, supported hydroxylamine I; R

copoly(styrene-1% divinylbenzene), prepd. from Wang **resin** by treatment with N-hydroxyphthalimide/Ph3P/DEAD followed by hydrazinolysis, was used in solid phase synthesis of Z-Pro-Leu-Ala-NHOH.

IT 174857-80-8P 174857-88-6P 182297-48-9P 182297-49-0P 182297-50-3P 182297-51-4P 182297-52-5P 182297-53-6P 182297-54-7P 182297-55-8P 182297-56-9P 182297-57-0P

Searched by John Dantzman 308-4488

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L23
     ANSWER 21 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN
     1996:668769 HCAPLUS
DN
     126:31626
ΤI
     A method for the synthesis of hydroxamic acids on solid phase
ΑU
     Floyd, Christopher D.; Lewis, Christopher N.; Patel, Sanjay R.;
Whittaker,
     Mark
CS
     British Biotech Pharm. Ltd., Oxford, OX4 5LY, UK
SO
     Tetrahedron Lett. (1996), 37(44), 8045-8048
     CODEN: TELEAY; ISSN: 0040-4039
PB
     Elsevier
DT
     Journal
LA
     English
AB
     Wang resin was modified using a Mitsunobu reaction to give
     resin bound O-hydroxylamine. This resin was acylated
     and the adduct cleaved from the resin by TFA to afford
     hydroxamic acids. A series of tripeptides and sulfonamido hydroxamic
     acids which act as inhibitors of metalloproteinases have been prepd.
     Resins more sensitive to acid cleavage can also be modified to
     simplify the work-up procedure.
     123984-00-9P 184775-22-2P 184775-23-3P
ΙT
     184775-24-4P 184775-25-5P 184775-26-6P
     184775-27-7P 184775-28-8P 184775-29-9P
     184775-30-2P 184775-31-3P 184775-32-4P
     184775-33-5P 184775-34-6P 184775-35-7P
     184775-36-8P 184775-37-9P 184775-38-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (solid phase synthesis of peptidyl
        hydroxamic acids)
RN
     123984-00-9 HCAPLUS
CN
     L-Alaninamide, 1-[(phenylmethoxy)carbonyl]-L-prolyl-L-leucyl-N-hydroxy-
            (CA INDEX NAME)
```

Absolute stereochemistry.

RN 184775-22-2 HCAPLUS

CN L-Norleucinamide,

1-[(phenylmethoxy)carbonyl]-L-prolyl-(.alpha.S)-.alpha.aminobenzenebutanoyl-N-hydroxy- (9CI) (CA INDEX NAME)

Searched by John Dantzman

308-4488

ANSWER 18 OF 52 HCAPLUS COPYRIGHT 1999 ACS ΑN 1997:528751 HCAPLUS DN 127:176699 ΤI Solid-Phase Synthesis of Artificial .beta.-Sheets AU Holmes, Darren L.; Smith, Eric M.; Nowick, James S. Department of Chemistry, University of California, Irvine, CA, CS 92697-2025, USA SO J. Am. Chem. Soc. (1997), 119(33), 7665-7669 CODEN: JACSAT; ISSN: 0002-7863 PB American Chemical Society DTJournal English LA GI

on

AB The solid-phase syntheses of artificial .beta.-sheets, e.g. I, which \min

the structure and hydrogen-bonding patterns of protein .beta.-sheets is described. In these compds., mol. templates induce .beta.-sheet structures in attached peptide strands. The templates consist of di- and triurea derivs., which hold peptide and peptidomimetic strands in proximity, and .beta.-strand mimics, which hydrogen bond to the peptide strands. The syntheses involve constructing the "lower" peptide strand

I

Merrifield **resin**, attaching the di- or triamine portions of the di- or triurea templates, connecting the "upper" peptide and peptidomimetic strands, and cleaving the resulting artificial .beta.-sheets from the **resin**. The artificial .beta.-sheets were prepd. in 8-13 steps from leucine Merrifield in 33-67% overall yield.

IT 3619-17-8P, Isobutyric hydrazide 194025-94-0P 194025-95-1P 194025-96-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (solid-phase synthesis of artificial

Searched by John Dantzman 308-4488

L23 ANSWER 17 OF 52 HCAPLUS COPYRIGHT 1999 ACS

AN 1997:684665 HCAPLUS

DN 127:318531

TΙ A New and Efficient Solid Phase Synthesis of Hydroxamic Acids

Ngu, Khehyong; Patel, Dinesh V. ΑU

CS

Versicor Inc., Fremont, CA, 94555, USA J. Org. Chem. (1997), 62(21), 7088-7089 CODEN: JOCEAH; ISSN: 0022-3263 SO

PB American Chemical Society

DTJournal

LA English

CASREACT 127:318531 OS

AΒ A new method for the solid phase synthesis (SPS) of hydroxamic acids proceeding through the intermediacy of N-tethered-O-protected alkoxyamine resin is described. The linker group, besides being an acid cleavable site for attachment of these mols. on solid support, also

serves

as a suitable nitrogen protecting group for the hydroxamate

functionality.

The current methodol. is strategically well suited for combinatorial synthesis of diverse hydroxamic acid based metalloenzyme inhibitors, as exemplified by the first SPS of CGS 27023A, a recently described orally active matrix metallo protease (MMP) inhibitor.

ΙT 17698-11-2P 56439-40-8P 192570-31-3P

197304-28-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (solid phase synthesis of hydroxamic acids)

RN 17698-11-2 HCAPLUS

Benzenepropanamide, N-hydroxy- (9CI) (CA INDEX NAME) CN

RN 56439-40-8 HCAPLUS

Butanediamide, N-hydroxy-N'-(phenylmethyl)- (9CI) (CA INDEX NAME) CN

RN 192570-31-3 HCAPLUS

CN Butanamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl](3pyridinylmethyl)amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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=> d bib abs hitstr 13
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ANSWER 13 OF 52 HCAPLUS COPYRIGHT 1999 ACS
ΑN
     1998:426680 HCAPLUS
DN
     129:161529
ΤI
     Solid phase synthesis of 1-aminohydantoin libraries
    Wilson, Lawrence J.; Li, Min; Portlock, David E.
ΑU
     Procter and Gamble Pharmaceuticals, Health Care Research Center, Mason,
CS
     OH, 45040, USA
SO
     Tetrahedron Lett. (1998), 39(29), 5135-5138
     CODEN: TELEAY; ISSN: 0040-4039
PB
     Elsevier Science Ltd.
DT
     Journal
LA
    English
AB
    The solid support synthesis of a series of 1-aminohydantoins based on a
    diverse set of hydrazino amino acids, aldehydes, and amines is described.
    The method involves the construction of resin attached hydrazino
     acid precursors, followed by subsequent derivatization, and then
     cyclizative cleavage off the resin. Overall yields vary per
     example between 15 and 60%, and the samples are suitable for biol.
     evaluations without further purifn.
ΙT
     870-46-2, tert-Butoxycarbonylhydrazine 14381-08-9
     54600-94-1 211107-24-3 211107-25-4
    211107-29-8
    RL: RCT (Reactant)
        (solid phase synthesis of
        1-aminohydantoin libraries)
RN
     870-46-2 HCAPLUS
```

Hydrazinecarboxylic acid, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

CN

RN 14381-08-9 HCAPLUS
CN Hydrazinecarboxylic acid, 2-(1-carboxy-2-phenylethyl)-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 54600-94-1 HCAPLUS
CN Hydrazinecarboxylic acid, 2-(1-carboxyethyl)-, 1-(1,1-dimethylethyl)
ester
(9CI) (CA INDEX NAME)

```
L23
     ANSWER 12 OF 52 HCAPLUS COPYRIGHT 1999 ACS
ΑN
     1998:459963 HCAPLUS
DN
     129:161827
ΤI
     Solid-phase synthesis of hydroxamic acids
     Dankwardt, Sharon M.
ΑU
CS
     Inflammatory Disease Unit, Parallel Synthesis Group, Roche Bioscience,
     Palo Alto, CA, 94304, USA
     Synlett (1998), (7), 761
CODEN: SYNLES; ISSN: 0936-5214
SO
PΒ
     Georg Thieme Verlag
DT
     Journal
     English
LA
AΒ
     The solid-phase synthesis of amino hydroxamic acids is presented.
     Carboxy-linked, polymer-supported N-carbobenzoxy-protected amino acids
     were displaced from the resin with aq. NH2OH to provide the
     corresponding hydroxamic acids.
IT
     66179-55-3P 73048-81-4P 76960-28-6P
     88144-07-4P 107145-27-7P 160056-97-3P
     211232-25-6P 211232-26-7P 211232-27-8P
     211232-28-9P 211232-29-0P 211232-30-3P
     211232-31-4P 211232-32-5P 211232-33-6P
     211232-34-7P 211232-35-8P 211232-36-9P
     211232-37-0P 211232-38-1P 211232-39-2P
     211232-40-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (solid-phase synthesis of amino
        hydroxamic acids)
RN
     66179-55-3 HCAPLUS
CN
     Carbamic acid, [(1S)-1-[(hydroxyamino)carbonyl]-3-methylbutyl]-,
     phenylmethyl ester (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

Absolute stereochemistry.

```
ANSWER 11 OF 52 HCAPLUS COPYRIGHT 1999 ACS
L23
ΑN
      1998:485029 HCAPLUS
DN
      129:122459
ΤI
      Solid phase synthesis of aldehydes, ketones, oximes, amines and
hydroxamic
      acids
      Salvino, Joseph M.; Morton, George C.; Mason, Helen J.; Labaudiniere,
IN
      Richard F.
PΑ
      Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SO
      PCT Int. Appl., 98 pp.
      CODEN: PIXXD2
DT
      Patent
LA
      English
FAN.CNT 2
                                                     APPLICATION NO.
      PATENT NO.
                           KIND
                                  DATE
                                                                          DATE
PΙ
      WO 9829376
                           A1
                                  19980709
                                                    WO 1997-US23920 19971217
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
      AU 9857199
                            A1 19980731
                                                     AU 1998-57199
                                                                          19971217
                                                     EP 1997-953458
      EP 946478
                            Α1
                                  19991006
                                                                          19971217
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, FI, RO
                                   19980914
      ZA 9711453
                                                     ZA 1997-11453
                                                                          19971219
                            Α
                                                     WO 1998-US26512 19981214
      WO 9931491
                                  19990624
                            Α1
               AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK,
                EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
                LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
                RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ,
                VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
                FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
                CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                           A1 19990705
                                                   AU 1999-25569
      AU 9925569
                                                                          19981214
                                   19990813
                                                     NO 1999-2896
      NO 9902896
                                                                          19990614
                            Α
PRAI US 1996-32453
                           19961219
      US 1996-33881
                           19961224
      US 1996-PV32453
                          19961219
      US 1996-PV33881
                           19961224
      WO 1997-US23920 19971217
      US 1998-90558
                           19980624
      US 1998-90563
                           19980624
      US 1998-PV90558 19980624
      US 1998-PV90563 19980624
      WO 1998-US26512 19981214
OS
      CASREACT 129:122459
AΒ
      Title compds., e.g. R1COR2 (R1, R2 = aryl, aliphatyl), were prepd. by
                         Searched by John Dantzman
                                                              308-4488
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